

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
18 August 2005 (18.08.2005)

PCT

(10) International Publication Number
WO 2005/075424 A1

(51) International Patent Classification⁷: **C07D 211/86**,
A61K 31/4412, A61P 7/02

(21) International Application Number:
PCT/SE2005/000124

(22) International Filing Date: 2 February 2005 (02.02.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0400254-9 6 February 2004 (06.02.2004) SE
0401658-0 24 June 2004 (24.06.2004) SE

(71) Applicant (*for all designated States except US*): **ASTRAZENECA AB** [SE/SE]; S-151 85 Södertälje (SE).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): **BAYRAKDARIAN, Malken** [CA/CA]; AstraZeneca R & D Montreal, 7171 Frederick-Banting, St. Laurent, Montreal, Québec H4S 1Z9 (CA). **BERGGREN, Kristina** [SE/SE]; AstraZeneca R & D Mölndal, S-431 83 Mölndal (SE). **DAVIDSSON, Öjvind** [SE/SE]; AstraZeneca R & D Mölndal, S-431 83 Mölndal (SE). **FJELLSTRÖM, Ola** [SE/SE]; AstraZeneca R & D Mölndal, S-431 83 Mölndal (SE). **GUSTAFSSON, David** [SE/SE]; AstraZeneca R & D Mölndal, S-431 83 Mölndal (SE). **HANESSIAN, Stephen** [CA/CA]; University of Montreal, Dep of Chemistry, C.P. 6128, Succursale Centre-Ville, Montreal, Québec H3C 1J7 (CA). **INGHARDT, Tord** [SE/SE]; AstraZeneca R & D Mölndal, S-431 83 Mölndal (SE). **NILSSON, Ingemar** [SE/SE]; AstraZeneca R & D Mölndal, S-431 83 Mölndal (SE). **NÄGÅRD, Mats** [SE/SE]; AstraZeneca R

& D Mölndal, S-431 83 Mölndal (SE). **SIMARD, Daniel** [CA/CA]; University of Montreal, Dep of Chemistry, C.P. 6128, Succursale Centre-Ville, Montreal, Québec H3C 1J7 (CA). **THERRIEN, Eric** [CA/CA]; University of Montreal, Dep of Chemistry, C.P. 6128, Succursale Centre-Ville, Montreal, Québec H3C 1J7 (CA).

(74) Agent: **ASTRAZENECA**; Global Intellectual Property, S-151 85 Södertälje (SE).

(81) Designated States (*unless otherwise indicated, for every kind of national protection available*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (*unless otherwise indicated, for every kind of regional protection available*): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: NEW PYRIDIN-2-ONE COMPOUNDS USEFUL AS INHIBITORS OF THROMBIN

(57) Abstract: There is provided a compound of formula I, wherein the dashed line, R¹, R², R^{3a}, R^{3b}, A, D, E, G and L have meanings given in the description, which compounds are useful as, or are useful as prodrugs of, competitive inhibitors of trypsin-like proteases, such as thrombin, and thus, in particular, in the treatment of conditions where inhibition of thrombin is beneficial (e.g. conditions, such as thrombo-embolisms, where inhibition of thrombin is required or desired, and/or conditions where anticoagulant therapy is indicated).

WO 2005/075424 A1